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* * * * * RECONNECTED TO STN INTERNATIONAL * * * * * SESSION RESUMED IN FILE 'CAOLD' AT 18:23:42 ON 13 NOV 2008 FILE 'CAOLD' ENTERED AT 18:23:42 ON 13 NOV 2008 COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS) COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 1.38 198.53 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL SESSION ENTRY CA SUBSCRIBER PRICE 0.00 -1.60=> file req COST IN U.S. DOLLARS SINCE FILE TOTAL SESSION ENTRY FULL ESTIMATED COST 1.84 198.99 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL SESSION ENTRY CA SUBSCRIBER PRICE 0.00 -1.60

FILE 'REGISTRY' ENTERED AT 18:24:19 ON 13 NOV 2008
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 12 NOV 2008 HIGHEST RN 1072189-85-5 DICTIONARY FILE UPDATES: 12 NOV 2008 HIGHEST RN 1072189-85-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>

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L9 STRUCTURE UPLOADED

=> s 19

SAMPLE SEARCH INITIATED 18:29:15 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 6631 TO ITERATE

30.2% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 127738 TO 137502 PROJECTED ANSWERS: 1 TO 175

L10 1 SEA SSS SAM L9

=> s 19 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 177.90 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y FULL SEARCH INITIATED 18:29:19 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 134499 TO ITERATE

100.0% PROCESSED 134499 ITERATIONS

76 ANSWERS

1 ANSWERS

SEARCH TIME: 00.00.04

L11 76 SEA SSS FUL L9

=> file hcaplus

COST IN U.S. DOLLARS

COST IN U.S. DOLLARS

SINCE FILE
ENTRY
SESSION
182.04

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE
ENTRY
SESSION
SESSION

CA SUBSCRIBER PRICE

ENTRY SESSION

-1.60

FILE 'HCAPLUS' ENTERED AT 18:29:27 ON 13 NOV 2008
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FILE COVERS 1907 - 13 Nov 2008 VOL 149 ISS 20 FILE LAST UPDATED: 12 Nov 2008 (20081112/ED)

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 111

L12 27 L11

=> s 112 and agejas-chicharro, f?/au 3 AGEJAS-CHICHARRO, F?/AU

L13 1 L12 AND AGEJAS-CHICHARRO, F?/AU

=> d 113, ibib abs hitstr, 1

L13 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1103576 HCAPLUS

DOCUMENT NUMBER: 143:386923

TITLE: Preparation of pyridines as mGlu5 receptor antagonists

INVENTOR(S): Agejas-Chicharro, Francisco Javier;

Dressman, Bruce Anthony; Gutierrez Sanfeliciano, Sonia; Henry, Steven Scott; Martinez Perez, Jose Antonio; Massey, Steven Marc; Monn, James Allen;

Zia-Ebrahimi, Mohammad Sadegh

PATENT ASSIGNEE(S): Eli Lilly and Company, USA SOURCE: PCT Int. Appl., 154 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.				KIND DATE		APPLICATION NO.				DATE								
WO	WO 2005094822				A1	_	20051013			WO 2005-US7507					20050309			
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
		NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	
		SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
		ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IS,	ΙT,	LT,	LU,	MC,	NL,	PL,	PT,	
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	
		MR,	ΝE,	SN,	TD,	ΤG												
EP	1729	771			A1		2006	1213		EP 2	005-	7249.	39		2	0050	309	
	R:	ΑT,	BE,	ВG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	
		IS,	IT,	LI,	LT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR			
US	2008	0194	647		A1		2008	0814		US 2	006-	5985	12		2	0060	901	

PRIORITY APPLN. INFO.: US 2004-555137P P 20040322 WO 2005-US7507 W 20050309

OTHER SOURCE(S): CASREACT 143:386923; MARPAT 143:386923

GΙ

The invention is related to compds. I [Ar = (un)substituted Ph, naphthyl; R1 = H, halo, CN, CF3, CO2H and derivs., etc.; R2 = 1,2-ethenediyl, 1,2-ethynediyl], their pharmaceutically acceptable salts, and N-oxides as antagonists of the metabotropic glutamate (mGlu), particularly mGlu5, receptors (no data). I may be useful for treatment or prevention of disorders remedied by antagonism of the mGlu5 receptor (no data). The invention is also related to the preparation of pyridines I provided they are other than 5-(phenylethynyl)nicotinonitrile. For example, II was prepared, in 56% yield, by Pd-coupling of 3,4-difluoroiodobenzene with 5-ethynylnicotinonitrile. II may be particularly useful for the treatment of anxiety and/or pain.

IT 866683-44-5P, 5-(3-Fluorophenylethynyl)nicotinic acid ethyl ester 866683-53-6P, 3-Bromo-5-(4-fluorophenylethynyl)pyridine 866684-64-2P, 3-Bromo-5-(3-chlorophenylethynyl)pyridine 866684-83-5P, 3-Bromo-5-(3,4-difluorophenylethynyl)pyridine 866686-98-8P, 3-Chloro-5-(4-fluoro-3-nitrophenylethynyl)pyridine 866687-00-5P, [5-(5-Chloropyridin-3-ylethynyl)-2-fluorophenyl]amine

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of pyridines as mGlu5 receptor antagonists)

RN 866683-44-5 HCAPLUS

CN 3-Pyridinecarboxylic acid, 5-[2-(3-fluorophenyl)ethynyl]-, ethyl ester (CA INDEX NAME)

RN 866683-53-6 HCAPLUS

CN Pyridine, 3-bromo-5-[2-(4-fluorophenyl)ethynyl]- (CA INDEX NAME)

RN 866684-64-2 HCAPLUS

CN Pyridine, 3-bromo-5-[2-(3-chlorophenyl)ethynyl]- (CA INDEX NAME)

$$C \equiv C$$
 $C1$
 Br

RN 866684-83-5 HCAPLUS

CN Pyridine, 3-bromo-5-[2-(3,4-difluorophenyl)ethynyl]- (CA INDEX NAME)

$$C = C$$
 F
 F
 F
 F
 F

RN 866686-98-8 HCAPLUS

CN Pyridine, 3-chloro-5-[2-(4-fluoro-3-nitrophenyl)ethynyl]- (CA INDEX NAME)

$$c \equiv c$$
 NO_2
 $C \equiv C$

Updated Search

RN 866687-00-5 HCAPLUS
CN Benzenamine, 5-[2-(5-chloro-3-pyridinyl)ethynyl]-2-fluoro- (CA INDEX NAME)

$$c \equiv c$$
 NH_2
 $C1$

866685-27-0P, 3-[(4-Fluorophenyl)ethynyl]-5-iodopyridine TT 866685-33-8P, 3-Bromo-5-(3-fluorophenylethynyl)pyridine 866685-47-4P, 3-Bromo-5-(4-fluorophenylethynyl)pyridine hydrochloride 866685-67-8P, 3-Chloro-5-(3,4-difluorophenylethynyl)pyridine 866685-68-9P, 3-Chloro-5-(4-fluoro-3-methylphenylethynyl)pyridine 866685-75-8P 3-Chloro-5-(4-fluoro-3-trifluoromethylphenylethynyl)pyridine 866685-76-9P, 3-Chloro-5-(4-fluorophenylethynyl)pyridine 866686-04-6P, 3-[(3-Chlorophenyl)ethynyl]-5-methylsulfanylpyridine hydrochloride 866686-11-5P, 3-[(3-Bromo-4-fluorophenyl)ethynyl]-5-chloropyridine 866686-12-6P , 5-(5-Chloropyridin-3-ylethynyl)-2-fluorobenzamide 866686-14-8P , 5-(5-Chloropyridin-3-ylethynyl)-2-fluoro-N-methylbenzamide 866686-85-3P, 3-Chloro-5-(3-chloro-4-fluorophenylethynyl)pyridine 866686-86-4P, 5-(5-Chloropyridin-3-ylethynyl)-2-fluorobenzonitrile 866687-04-9P, 5-(5-Chloropyridin-3-ylethynyl)-2-fluoro-N,Ndimethylbenzamide hydrochloride 866687-05-0P, N-[5-(5-Chloropyridin-3-ylethynyl)-2-fluorophenyl]acetamide 866687-07-2P, N-[5-(5-Chloropyridin-3-ylethynyl)-2fluorophenyl]methanesulfonamide 866687-10-7P, 3-Chloro-5-(4-fluoro-3-methoxyphenylethynyl)pyridine RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (drug candidate; preparation of pyridines as mGlu5 receptor antagonists) 866685-27-0 HCAPLUS RN CN Pyridine, 3-[2-(4-fluorophenyl)ethynyl]-5-iodo- (CA INDEX NAME)

RN 866685-33-8 HCAPLUS CN Pyridine, 3-bromo-5-[2-(3-fluorophenyl)ethynyl]- (CA INDEX NAME)

$$C \equiv C$$
 Br

RN 866685-47-4 HCAPLUS

CN Pyridine, 3-bromo-5-[2-(4-fluorophenyl)ethynyl]-, hydrochloride (1:1) (CA INDEX NAME)

HCl

RN 866685-67-8 HCAPLUS

CN Pyridine, 3-chloro-5-[2-(3,4-difluorophenyl)ethynyl]- (CA INDEX NAME)

RN 866685-68-9 HCAPLUS

CN Pyridine, 3-chloro-5-[2-(4-fluoro-3-methylphenyl)ethynyl]- (CA INDEX NAME)

$$C = C$$
 Me
 $C1$

RN 866685-75-8 HCAPLUS

CN Pyridine, 3-chloro-5-[2-[4-fluoro-3-(trifluoromethyl)phenyl]ethynyl]- (CA INDEX NAME)

$$c = c$$
 CF_3
 $C1$

RN 866685-76-9 HCAPLUS

CN Pyridine, 3-chloro-5-[2-(4-fluorophenyl)ethynyl]- (CA INDEX NAME)

RN 866686-04-6 HCAPLUS

CN Pyridine, 3-[2-(3-chlorophenyl)ethynyl]-5-(methylthio)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 866686-11-5 HCAPLUS

CN Pyridine, 3-[2-(3-bromo-4-fluorophenyl)ethynyl]-5-chloro- (CA INDEX NAME)

$$C = C$$
 $C = C$
 $C = C$
 $C = C$

RN 866686-12-6 HCAPLUS

CN Benzamide, 5-[2-(5-chloro-3-pyridinyl)ethynyl]-2-fluoro- (CA INDEX NAME)

$$C = C$$

$$H_2N - C$$

$$C1$$

RN 866686-14-8 HCAPLUS

CN Benzamide, 5-[2-(5-chloro-3-pyridinyl)ethynyl]-2-fluoro-N-methyl- (CA INDEX NAME)

$$C = C$$

$$MeNH-C$$

$$C1$$

$$0$$

RN 866686-85-3 HCAPLUS

CN Pyridine, 3-chloro-5-[2-(3-chloro-4-fluorophenyl)ethynyl]- (CA INDEX NAME)

$$C = C$$

RN 866686-86-4 HCAPLUS

CN Benzonitrile, 5-[2-(5-chloro-3-pyridinyl)ethynyl]-2-fluoro- (CA INDEX NAME)

RN 866687-04-9 HCAPLUS

CN Benzamide, 5-[2-(5-chloro-3-pyridinyl)ethynyl]-2-fluoro-N,N-dimethyl-, hydrochloride (1:1) (CA INDEX NAME)

$$C = C$$

$$Me_2N - C$$

$$C1$$

● HCl

RN 866687-05-0 HCAPLUS

CN Acetamide, N-[5-[2-(5-chloro-3-pyridinyl)ethynyl]-2-fluorophenyl]- (CA INDEX NAME)

RN 866687-07-2 HCAPLUS

CN Methanesulfonamide, N-[5-[2-(5-chloro-3-pyridinyl)ethynyl]-2-fluorophenyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C & C \\ \hline \\ NH-S-Me \\ O \\ \end{array}$$

RN 866687-10-7 HCAPLUS

CN Pyridine, 3-chloro-5-[2-(4-fluoro-3-methoxyphenyl)ethynyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C & \hline \\ \hline \\ C & \\ \hline \\ OMe & \\ \hline \\ C1 & \\ \end{array}$$

REFERENCE COUNT:

21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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=> d his
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     FILE 'REGISTRY' ENTERED AT 18:08:51 ON 13 NOV 2008
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L2
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L3
             46 S L1 FULL
    FILE 'HCAPLUS' ENTERED AT 18:12:39 ON 13 NOV 2008
              2 S L3
L4
              1 S L4 AND AGEJAS-CHICHARRO, F?/AU
L5
              1 S L4 NOT L5
L6
L7
              0 S L6 AND DRESSMAN, B?/AU
    FILE 'CAOLD' ENTERED AT 18:13:40 ON 13 NOV 2008
L8
             0 S L3
    FILE 'REGISTRY' ENTERED AT 18:24:19 ON 13 NOV 2008
L9
              STRUCTURE UPLOADED
L10
             1 S L9
L11
            76 S L9 FULL
    FILE 'HCAPLUS' ENTERED AT 18:29:27 ON 13 NOV 2008
     27 S L11
L12
L13
             1 S L12 AND AGEJAS-CHICHARRO, F?/AU
=> s 112 not 113
        26 L12 NOT L13
L14
=> s 114 and dressman, b?/au
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L15
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\Rightarrow s 114 and henry, s?/au
           603 HENRY, S?/AU
T.17
             0 L14 AND HENRY, S?/AU
=> s 114 and perez, j?/au
          3069 PEREZ, J?/AU
L18
            0 L14 AND PEREZ, J?/AU
=> d 114, ibib abs hitstr, 1-26
L14 ANSWER 1 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:
                         2008:1251984 HCAPLUS
TITLE:
                         Direct cationic hair dye compositions comprising a
                        substituted acetylenic carbocyanine derivative
INVENTOR(S):
                        Lagrange, Alain
```

PATENT ASSIGNEE(S): L'Oreal, Fr.

SOURCE: Fr. Demande, 42pp.

CODEN: FRXXBL

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2914855	A1	20081017	FR 2007-54453	20070413
PRIORITY APPLN. INFO.:			FR 2007-54453	20070413
3D DI				

AB Direct cationic hair dye compns. containing a substituted acetylenic carbocyanine derivative are claimed. A hair dye preparation contained 2-(p-diethylaminophenylacetylenyl)pyridinium 0.5%, alkyl polyglucoside 5, PEG-8 6, benzyl alc. 4, hydroxyethyl cellulose 2, buffer pH = 9 50%, and water q.s. 100%.

IT 506438-90-0D, salts

RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses) (direct cationic hair dye compns. comprising substituted acetylenic carbocyanine derivative)

RN 506438-90-0 HCAPLUS

CN Pyridinium, 4-[2-[4-(dimethylamino)-2,3,5,6-tetrafluorophenyl]ethynyl]-2,3,5,6-tetrafluoro-1-methyl- (CA INDEX NAME)

$$F \qquad C = C \qquad F \qquad F$$

$$Me_2N \qquad F \qquad F \qquad Me$$

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 2 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:770036 HCAPLUS

DOCUMENT NUMBER: 149:104704

TITLE: Preparation of novel

2-amino-5,5-diaryl-imidazol-4-ones for treating

cognitive impairment, Alzheimer's disease,

neurodegeneration and dementia

INVENTOR(S): Berg, Stefan; Holenz, Joerg; Karlstroem, Sofia;

Kihlstroem, Jacob; Lindstroem, Johan; Rakos, Laszlo

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astex Therapeutics Ltd.

SOURCE: PCT Int. Appl., 281pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GΙ

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PATENT NO.
                      KIND DATE
                                    APPLICATION NO. DATE
                   7.1
                                                              _____
    _____
                                        _____
                       A1 20080626 WO 2007-SE1119 20071218
    WO 2008076046
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA,
            CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI,
            GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,
            KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,
            MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,
            PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,
            TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
        RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
            IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
            BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
            GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
            BY, KG, KZ, MD, RU, TJ, TM
    US 20080176862
                       A1 20080724
                                         US 2007-959561
                                                               20071219
                                         US 2006-870936P P 20061220
US 2007-917989P P 20070515
PRIORITY APPLN. INFO.:
                     MARPAT 149:104704
OTHER SOURCE(S):
```

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A = (un)substituted Ph, heteroaryl; B = H, halo, CN, (un)substituted Ph, heterocyclyl, heteroaryl, cycloalk(en)yl, alk(en)yl, alk(en)ylcycloalkyl; C = (un)substituted Ph, heteroaryl, heterocyclyl; R1, R2 = OSO2R6; R6 = CF3, NMe2, (un)substituted cyclo/alkyl, (hetero)aryl; R7 = (un)substituted alkyl; m, n = independently 0-1; one of m or n is at least 1; with the exclusion of specified compds.; and their pharmaceutically acceptable salts and solvates], useful in treatment or prophylaxis of cognitive impairment, Alzheimer's disease, neurodegeneration and dementia, were prepared Thus, a multi-step synthesis starting from 2-bromo-1-fluoro-4-iodobenzene was given for II•1/2MeCO2H. II•1/2MeCO2H showed IC50 of 89 nM in TR-FRET assay. Pharmaceutical compns. comprising the compound I alone or in combination with the other therapeutic agent are disclosed.

IT 1035268-77-9P, 4-[(3-Bromophenyl)ethynyl]-2-chloropyridine
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(intermediate; preparation of 2-amino-5,5-diaryl-imidazol-4-ones for treating and preventing cognitive impairment, Alzheimer's disease, neurodegeneration and dementia)

RN 1035268-77-9 HCAPLUS

CN Pyridine, 4-[2-(3-bromophenyl)ethynyl]-2-chloro- (CA INDEX NAME)

$$\mathsf{Br} = \mathsf{C} = \mathsf{C} \mathsf{C}$$

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 3 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:383636 HCAPLUS

DOCUMENT NUMBER: 146:401967

TITLE: Preparation of tetracyclic inhibitors of Janus kinases

INVENTOR(S): Arvanitis, Argyrios G.; Rodgers, James D.; Combs, Andrew P.; Sparks, Richard B.; Robinson, Darius J.;

Fridman, Jordan S.; Vaddi, Krishna

PATENT ASSIGNEE(S): Incyte Corporation, USA SOURCE: PCT Int. Appl., 148pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	PATENT NO. WO 2007038215			KIND DATE				APPLICATION NO.					DATE					
WO					A1 20070405			WO 2006-US36872					20060921					
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BΖ,	CA,	CH,	
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	RW:	ΑT,	BE,	ВG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	
		IS,	ΙΤ,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG,	BW,	GH,	
		GM,	KΕ,	LS,	MW,	${ m MZ}$,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,	BY,	
		KG,	KΖ,	MD,	RU,	ΤJ,	$_{ m TM}$											
CA	2621	261			A1		2007	0405	1	CA 2	006-	2621.	261		2	0060	921	
US	2007	0149	506		A1		2007	0628	US 2006-524641						2	0060	921	
EP	1926						2008									0060		
	R:	•	•	•	•	•	CZ,	•	•	•	•	•	•	•	•	•	•	
		IS,	ΙT,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,	
		BA,	HR,	MK,	RS													
PRIORIT	RIORITY APPLN. INFO.:								US 2005-719462P				-	P 20050922				
										US 2						0060		
	NEWED (0)						WO 2006-US368						872	2 W 20060921				

OTHER SOURCE(S): MARPAT 146:401967

GΙ

$$\begin{array}{c|c}
 & W \\
 & E \\
 & G \\
 & D5 \\
 & D6 \\
 & D7 \\
 & M \\
 & M \\
 & D3
\end{array}$$

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ D5 & & & \\ & & & \\ D6 & & & \\ & & & \\ D7 & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ &$$

III

AB The invention is related to tetracyclic compds. I, II, and III [D1-D7 =independently CR1, N; E = O, S, SO, SO2, NH and derivs.; G = N, CH and derivs.; Q1, Q2 = independently H, NH and derivs.; W = -W1-W2-W3-W4; W1 = -W1-W2-W3-W4absent, O, S, NH and derivs., SO2, NHCONH and derivs., alkyl, etc.; W2 = absent, (un) substituted alk(en/yn)yl, (hetero)aryl, etc.; W3 = absent, :N, :NO, alkoxy, CONH and derivs., SONH and derivs., (un)substituted alk(en/yn)yl, etc.; W4 = H, CN, NH2 and derivs., (un)substitutedcyclo/alkyl, heterocycloalkyl, etc.; provided that when D7 = N, E = O, S; and G = N, then W is other than H] and their pharmaceutically acceptable salts or prodrugs, that modulate, especially inhibit, the activity of Janus kinases. Thus, IV was prepared by a general procedure. Selected tetracyclic compds. I-III showed an IC50 of $10\mu\mathrm{M}$ or less for the inhibition of JAK1 and/or JAK2, and/or JAK3 in an in vitro assay. I-III are useful in the treatment of diseases related to activity of Janus kinases including, for example, immune-related diseases, skin disorders, myeloid proliferative disorders, cancer, and other diseases.

933768-07-1P, 2-Fluoro-3-[(4-fluoro-2-nitrophenyl)ethynyl]pyridine RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of tetracyclic inhibitors of Janus kinases) 933768-07-1 HCAPLUS

CN Pyridine, 2-fluoro-3-[2-(4-fluoro-2-nitrophenyl)ethynyl]- (CA INDEX NAME)

$$C = C$$

RN

PUBLISHER:

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 4 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1330282 HCAPLUS

DOCUMENT NUMBER: 147:486182

TITLE: One-shot double elimination process: a practical and

concise protocol for diarylacetylenes

AUTHOR(S): Orita, Akihiro; Taniguchi, Hisataka; Otera, Junzo

CORPORATE SOURCE: Department of Applied Chemistry, Okayama University of

Science, Ridai-cho, Okayama, 700-0005, Japan

SOURCE: Chemistry--An Asian Journal (2006), 1(3), 430-437

CODEN: CAAJBI; ISSN: 1861-4728 Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 147:486182

AB A variety of diarylacetylenes were obtained in good yields when lithium hexamethyldisilazide was added to a solution of aryl Me sulfone, aryl aldehyde, and di-Et chlorophosphate in THF. In this one-shot process, a number of transformations such as aldol reaction, phosphorylation of aldolate, and double elimination of the resulting β -substituted sulfone proceeded successively to afford the desired acetylenes. The one-shot process was accelerated by the substitution of halogen atoms on the Ph groups, and unsym. substituted diarylacetylenes were obtained without contamination of the dehalogenated products. Diarylacetylenes with other substituents such as CF3, CO2Et, NMe2, C.tplbond.CSiMe3 as well as pyridinyl and thienyl moieties were also accessible with this method. However, methoxy-substituted compds. were obtained in moderate yields under the same conditions, but the yields were increased when lithium diisopropylamide was used instead.

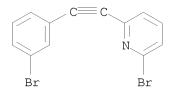
IT 954108-66-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of diarylacetylenes from sulfone, aldehyde and chlorophosphate)

RN 954108-66-8 HCAPLUS

CN Pyridine, 2-bromo-6-[2-(3-bromophenyl)ethynyl]- (CA INDEX NAME)



REFERENCE COUNT: 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 5 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1091814 HCAPLUS

DOCUMENT NUMBER: 146:462104

TITLE: Polyhaloheterocyclic compounds. Part 53. Sonogashira

reactions of 2,4,6-tribromo-3,5-difluoropyridine

AUTHOR(S): Benmansour, Hadjar; Chambers, Richard D.; Sandford,

Graham; Yufit, Dmitrii S.; Howard, Judith A. K.

CORPORATE SOURCE: Department of Chemistry, University of Durham, Durham,

DH1 3LE, UK

SOURCE: ARKIVOC (Gainesville, FL, United States) (2007), (11),

46 - 55

CODEN: AGFUAR

URL: http://www.arkat-

usa.org/ARKIVOC/JOURNAL CONTENT/manuscripts/2007/HG-

2110EP%20as%20published%20mainmanuscript.pdf

PUBLISHER: Arkat USA Inc.

DOCUMENT TYPE: Journal; (online computer file)

LANGUAGE: English

OTHER SOURCE(S): CASREACT 146:462104

GΙ

AB Palladium-catalyzed Sonogashira reactions between 2,4,6-tribromo-3,5-difluoropyridine and a variety of phenylacetylene derivs. gave 4-bromo-2,6-bis(2-phenylethynyl)-3,5-difluoropyridines (I; R = H, 4-MeO, 4-F, 2-Cl, 4-Cl, 4-Br).

IT 935395-86-1P 935395-87-2P

RL: SPN (Synthetic preparation); PREP (Preparation)

(bis(arylethynyl)bromodifluoropyridines via palladium complex catalyzed Sonogashira coupling of tribromodifluoropyridine with arylacetylenes)

RN 935395-86-1 HCAPLUS

CN Pyridine, 4-bromo-2,6-bis[2-(4-chlorophenyl)ethynyl]-3,5-difluoro- (CA INDEX NAME)

RN 935395-87-2 HCAPLUS

CN Pyridine, 4-bromo-2,6-bis[2-(4-bromophenyl)ethynyl]-3,5-difluoro- (CA INDEX NAME)

IT 935395-84-9P 935395-85-0P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (crystal structure; bis(arylethynyl)bromodifluoropyridines via palladium complex catalyzed Sonogashira coupling of tribromodifluoropyridine with arylacetylenes)

RN 935395-84-9 HCAPLUS

CN Pyridine, 4-bromo-3,5-difluoro-2,6-bis[2-(4-fluorophenyl)ethynyl]- (CA INDEX NAME)

$$C = C$$
 $E = C$
 $E =$

RN 935395-85-0 HCAPLUS

CN Pyridine, 4-bromo-2,6-bis[2-(2-chlorophenyl)ethynyl]-3,5-difluoro- (CA INDEX NAME)

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 6 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1155535 HCAPLUS

DOCUMENT NUMBER: 143:422040

TITLE: Diarylalkyne compounds with MCH-receptor antagonistic

activity, their preparation, pharmaceutical

compositions, and use in therapy

PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany

SOURCE: U.S. Pat. Appl. Publ., 62 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

E	PATENT NO.			KIND DATE			APPLICATION NO.						DATE				
	JS 200						2005									0050	
	DE 102						2005				004-					0040	
	CA 255	9021			A1		2005	1103		CA 2	005-	2559	021		2	0050	408
V	WO 200	51030	31		A1		2005	1103		WO 2	005 - 1	EP36	83		2	0050	408
	W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	KΖ,
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,
		NI.	NO.	NZ.	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC.	SD.	SE,	SG.	SK,	SL.
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							RU,										
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Г	EP 174	•					2007	0110		FD 2	005-	7165	5.8		2	0050	4 N 8
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	JP 200				1												
PRIOR	PRIORITY APPLN. INFO.:																
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										WO 2	005-	EP36	83	Ī	√ 2	0050	408

OTHER SOURCE(S): CASREACT 143:422040; MARPAT 143:422040

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The invention relates to alkyne compds. of general formula I, which are AB antagonists of melanin-concentrating hormone (MCH) receptors. In compds. I, R1 is selected from C3-6 alkenyl, C3-6 alkynyl, (hydroxy-C3-7 cycloalkyl)-C1-3 alkyl, oxa-C4-7 cycloalkyl, and dihydroxy-C3-7 alkyl, each optionally substituted; R2 is independently selected from H, (un) substituted C1-8 alkyl, (un) substituted C3-7 cycloalkyl, (un) substituted Ph, (un) substituted pyridinyl, etc., or R1 and R2, together with the N atom to which they are bound, form an (un)substituted heterocycle; X is (un)substituted C1-4 alkylene; W and Z are each independently a bond or a C1-2 alkylene; Y and A are each independently (un) substituted Ph, (un) substituted pyridinyl, (un) substituted pyrimidinyl, (un)substituted pyrazinyl, etc.; B is (un)substituted C1-6 alkyl, (un)substituted C2-6 alkenyl, (un)substituted C3-7 cycloalkyl, (un) substituted Ph, (un) substituted pyridinyl, etc.; including tautomers, enantiomers, salts, and mixts. thereof, with 6 specific compds. excluded. The invention also relates to the preparation of I, pharmaceutical compns. containing I and one or more physiol. acceptable excipients, inert carriers or diluents, as well as to the use of the compns. for the treatment of metabolic disorders and/or eating disorders, particularly obesity and diabetes. N-Alkylation of 3-methylpyridine with benzyl chloride followed by hydride reduction, asym. dihydroxylation, and debenzylation gave optically active piperidinediol II. 2-Bromoethanol underwent substitution with 4-iodo-2-methylphenol to give the corresponding ether, which was coupled with trimethylsilylacetylene and desilylated to give alkyne III. Coupling of III with 2,5-dibromopyridine, Suzuki coupling with 4-chlorophenylboronic acid, mesylation and substitution with piperidinediol II resulted in the formation of diarylalkyne IV. The compds. of the invention are MCH-receptor antagonists, with compound IV expressing an IC50 value of 10.9 nM. 1056986-35-6 1056986-36-7 1056986-37-8 1056986-38-9 1056986-39-0 1056986-40-3 1056986-41-4 RL: PRPH (Prophetic) (Diarylalkyne compounds with MCH-receptor antagonistic activity, their preparation, pharmaceutical compositions, and use in therapy) 1056986-35-6 HCAPLUS RN

3,4-Piperidinediol, 1-[2-[2-bromo-4-[2-[5-(4-chlorophenyl)-3-fluoro-2-

pyridinyl]ethynyl]phenoxy]ethyl]-4-methyl-, (3R, 4S)- (CA INDEX NAME)

Absolute stereochemistry.

CN

RN 1056986-36-7 HCAPLUS

CN 3,4-Piperidinediol, 1-[2-[2-bromo-4-[2-[5-(4-chlorophenyl)-3-fluoro-2-pyridinyl]ethynyl]phenoxy]ethyl]-4-methyl-, (3S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1056986-37-8 HCAPLUS

CN 3,4-Piperidinediol, 1-[2-[2-bromo-4-[2-[5-(4-chlorophenyl)-3-fluoro-2-pyridinyl]ethynyl]phenoxy]ethyl]-4-ethyl-, (3S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1056986-38-9 HCAPLUS

CN 3,4-Piperidinediol, 1-[2-[2-bromo-4-[2-[5-(4-chlorophenyl)-3-fluoro-2-pyridinyl]ethynyl]phenoxy]ethyl]-4-ethyl-, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1056986-39-0 HCAPLUS

CN 3,4-Piperidinediol, 1-[2-[2-bromo-4-[2-[5-(4-chlorophenyl)-3-fluoro-2-pyridinyl]ethynyl]phenoxy]ethyl]-4-(trifluoromethyl)-, (3S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1056986-40-3 HCAPLUS

CN 3,4-Piperidinediol, 1-[2-[2-bromo-4-[2-[5-(4-chlorophenyl)-3-fluoro-2-pyridinyl]ethynyl]phenoxy]ethyl]-4-(trifluoromethyl)-, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1056986-41-4 HCAPLUS

CN 1,3-Propanediol, 2-[[2-[2-bromo-4-[2-[5-(4-chlorophenyl)-3-fluoro-2-pyridinyl]ethynyl]phenoxy]ethyl]amino]- (CA INDEX NAME)

RN

C1 Br
$$O-CH_2-OH$$
 $O-CH_2-CH_2-NH-CH-CH_2-OH$

IT 866928-79-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of diarylalkynes as MCH-receptor antagonists) 866928-79-2 HCAPLUS

CN Cyclopropanol, 1-[(2S)-1-[2-[2-bromo-4-[2-[5-(4-chlorophenyl)-3-fluoro-2-pyridinyl]ethynyl]phenoxy]ethyl]-2-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

IT 866929-99-9P, 2-[2-Bromo-4-[5-(4-chlorophenyl)-3-fluoropyridin-2-ylethynyl]phenoxy]ethanol 866930-00-9P,

2-[2-Bromo-4-[5-(4-chlorophenyl)-3-fluoropyridin-2-ylethynyl] phenoxy] ethyl methanesulfonate

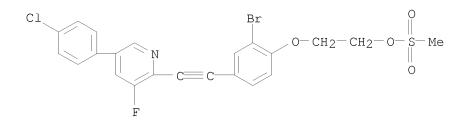
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of diarylal kynes as MCH-receptor antagonists) $866929-99-9\,$ HCAPLUS

RN 866929-99-9 HCAPLUS
CN Ethanol, 2-[2-bromo-4-[2-[5-(4-chlorophenyl)-3-fluoro-2-pyridinyl]ethynyl]phenoxy]- (CA INDEX NAME)

RN 866930-00-9 HCAPLUS

CN Ethanol, 2-[2-bromo-4-[2-[5-(4-chlorophenyl)-3-fluoro-2-pyridinyl]ethynyl]phenoxy]-, 1-methanesulfonate (CA INDEX NAME)



L14 ANSWER 7 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1132924 HCAPLUS

DOCUMENT NUMBER: 143:405812

TITLE: Preparation of substituted pyridine alkynes with MCH

antagonistic activity for the treatment of metabolic

disorders

INVENTOR(S): Stenkamp, Dirk; Mueller, Stephan Georg; Lustenberger,

Philipp; Lehmann-Lintz, Thorsten; Roth, Gerald Juergen; Rudolf, Klaus; Schindler, Marcus; Thomas,

Leo; Lotz, Ralf

PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany

SOURCE: U.S. Pat. Appl. Publ., 67 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATI	E APF	PLICATION NO.	DATE		
US 20050234101	A1 2005	51020 US	2005-104889	20050413		
DE 102004017934	A1 2005	A1 20051103 DE 2004-102004017934				
CA 2559688	A1 2005	A1 20051103 CA 2005-2559688				
WO 2005103002	A2 2005	51103 WO	2005-EP3685	20050408		
WO 2005103002	A3 2006	60202				
W: AE, AG, AL,	, AM, AT, AU,	, AZ, BA, BE	B, BG, BR, BW, E	BY, BZ, CA, CH,		
CN, CO, CR,	CU, CZ, DE,	, DK, DM, DZ	Z, EC, EE, EG, E	ES, FI, GB, GD,		
GE, GH, GM,	, HR, HU, ID,	, IL, IN, IS	S, JP, KE, KG, E	KM, KP, KR, KZ,		
LC, LK, LR,	, LS, LT, LU,	, LV, MA, MD	O, MG, MK, MN, N	MW, MX, MZ, NA,		
NI, NO, NZ,	OM, PG, PH,	, PL, PT, RC	O, RU, SC, SD, S	SE, SG, SK, SL,		

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SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA,
             ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
             RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
             MR, NE, SN, TD, TG
     EP 1737823
                                20070103
                                            EP 2005-737015
                          Α2
             AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR
     JP 2007532595
                          Τ
                                20071115
                                            JP 2007-507708
PRIORITY APPLN. INFO.:
                                            DE 2004-102004017934A
                                                                    20040414
                                            US 2004-563590P
                                                                 Ρ
                                                                    20040420
                                            WO 2005-EP3685
                                                                 W 20050408
OTHER SOURCE(S):
                         CASREACT 143:405812
GT
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$$c\equiv c$$

AB Various substituted pyridinyl alkynes are prepared For instance, 2-[[4-[[5-(4-chlorophenyl)pyridin-2-yl]ethynyl]-2-methylphenyl]oxy]ethyl methanesulfonate (I) is prepared in 6 steps from 4-iodophenol, 2-bromoethanol, trimethylsilylacetylene, 2,5-dibromopyridine and 4-chlorophenylboronic acid. This intermediate is reacted with a variety of amines to produce example compds. I is converted to II by displacement with the corresponding amine. II exhibits an IC50 = 6.2 nM for MCH-1. Example compds. are useful for the treatment of metabolic disorders and/or eating disorders, particularly obesity and diabetes.

IT 866928-78-1P 866928-79-2P 866928-80-5P 866928-81-6P 866928-82-7P 866928-83-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted pyridine alkynes with MCH antagonistic activity for treatment of metabolic disorders)

RN 866928-78-1 HCAPLUS

CN 2-Pyrrolidinemethanol, 1-[2-[2-bromo-4-[2-[5-(4-chlorophenyl)-3-fluoro-2-pyridinyl]ethynyl]phenoxy]ethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 866928-79-2 HCAPLUS

CN Cyclopropanol, 1-[(2S)-1-[2-[2-bromo-4-[2-[5-(4-chlorophenyl)-3-fluoro-2-pyridinyl]ethynyl]phenoxy]ethyl]-2-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 866928-80-5 HCAPLUS

CN Pyridine, 2-[2-[3-bromo-4-[2-[(2S)-2-(methoxymethyl)-1-pyrrolidinyl]ethoxy]phenyl]ethynyl]-5-(4-chlorophenyl)-3-fluoro-(CA INDEX NAME)

Absolute stereochemistry.

RN 866928-81-6 HCAPLUS

CN 4-Piperidinol, 1-[2-[2-bromo-4-[2-[5-(4-chlorophenyl)-3-fluoro-2-pyridinyl]ethynyl]phenoxy]ethyl]-4-methyl- (CA INDEX NAME)

$$C = C$$
 $C = C$
 $C =$

RN 866928-82-7 HCAPLUS

CN Pyridine, 2-[2-[3-bromo-4-[2-(4-methyl-1-piperidinyl)ethoxy]phenyl]ethynyl]-5-(4-chlorophenyl)-3-fluoro- (CA INDEX NAME)

RN 866928-83-8 HCAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[2-[2-bromo-4-[2-[5-(4-chlorophenyl)-3-fluoro-2-pyridinyl]ethynyl]phenoxy]ethyl]-, (3-endo)- (CA INDEX NAME)

Relative stereochemistry.

IT 866929-99-9P, 2-[2-Bromo-4-[5-(4-chlorophenyl)-3-fluoropyridin-2-ylethynyl]phenoxy]ethanol 866930-00-9P,

2-[2-Bromo-4-[5-(4-chlorophenyl)-3-fluoropyridin-2-ylethynyl] phenoxy] ethyl methanesulfonate

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted pyridine alkynes with MCH antagonistic activity for treatment of metabolic disorders)

RN 866929-99-9 HCAPLUS

CN Ethanol, 2-[2-bromo-4-[2-[5-(4-chlorophenyl)-3-fluoro-2-pyridinyl]ethynyl]phenoxy]- (CA INDEX NAME)

RN 866930-00-9 HCAPLUS

CN Ethanol, 2-[2-bromo-4-[2-[5-(4-chlorophenyl)-3-fluoro-2-pyridinyl]ethynyl]phenoxy]-, 1-methanesulfonate (CA INDEX NAME)

L14 ANSWER 8 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:479549 HCAPLUS

DOCUMENT NUMBER: 143:172503

TITLE: Supramolecular Nano Networks Formed by

Molecular-Recognition-Directed Self-Assembly of Ditopic Calix[5]arene and Dumbbell [60]Fullerene

AUTHOR(S): Haino, Takeharu; Matsumoto, Youko; Fukazawa, Yoshimasa

CORPORATE SOURCE: Department of Chemistry, Graduate School of Science,

Hiroshima University, Higashi-Hiroshima, 739-8526,

Japan

SOURCE: Journal of the American Chemical Society (2005),

127(25), 8936-8937

CODEN: JACSAT; ISSN: 0002-7863

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 143:172503

Dumbbell fullerene and ditopic bisdouble-calix[5]arene were synthesized. Their iterative host-guest complexations create the supramol. nano network. SEM revealed the formation of the branched fiber, possessing a length of >100 μm and widths of 250-500 nm on a glass plate. More detailed information was given by atomic force microscopy. The formed fibers on a mica plate have widths of 60-90 nm and heights of 1.2-1.9 nm. The nanosize assemblies are probably composed of a bundle of 40-60 polymer chains created by entangling the alkyl side chains with van der Waals interaction.

IT 861108-92-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(hydrolysis; supramol. nano networks formed by

 ${\tt mol.-recognition-directed}$ self-assembly of ditopic calix[5]arene and dumbbell C60)

RN 861108-92-1 HCAPLUS

CN 2,6-Pyridinedicarboxylic acid, 4-[2-[2,5-bis(dodecyloxy)-4-iodophenyl]ethynyl]-, 2,6-dimethyl ester (CA INDEX NAME)

IT 861108-93-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(supramol. nano networks formed by mol.-recognition-directed self-assembly of ditopic calix[5]arene and dumbbell C60)

RN 861108-93-2 HCAPLUS

CN 2,6-Pyridinedicarboxylic acid, 4-[2-[2,5-bis(dodecyloxy)-4-iodophenyl]ethynyl]- (CA INDEX NAME)

REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 9 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:177838 HCAPLUS

DOCUMENT NUMBER: 142:280057

TITLE: Preparation of substituted pyridinones as modulators

of p38 MAP kinase

INVENTOR(S): Devadas, Balekudru; Walker, John; Selness, Shaun R.;

Boehm, Terri L.; Durley, Richard C.; Devraj, Rajesh; Hickory, Brian S.; Rucker, Paul V.; Jerome, Kevin D.; Madsen, Heather M.; Alvira, Edgardo; Promo, Michele

A.; Blevis-Bal, Radhika M.; Marrufo, Laura D.;

Hitchcock, Jeff; Owen, Thomas; Naing, Win; Xing, Li;

Shieh, Huey S.; Sambandam, Aruna; Liu, Shuang; Scott,

Ian L.; Mcgee, Kevin F.

PATENT ASSIGNEE(S): Pharmacia Corporation, USA SOURCE: PCT Int. Appl., 968 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	PATENT NO.					KIND DATE				APPLICATION NO.						DATE		
WO WO				A2 2005030. A3 2005080										20040813				
	W: RW:	CN, GE, LK, NO, TJ, BW, AZ, EE, SI,	CO, GH, LR, NZ, TM, GH, BY, ES, SK,	CR, GM, LS, OM, TN, GM, KG, FI,	CU, HR, LT, PG, TR, KE, KZ, FR,	CZ, HU, LU, PH, TT, LS, MD, GB,	AU, DE, ID, LV, PL, TZ, MW, RU, GR, CF,	DK, IL, MA, PT, UA, MZ, TJ, HU,	DM, IN, MD, RO, UG, NA, TM, IE,	DZ, IS, MG, RU, US, SD, AT, IT,	EC, JP, MK, SC, UZ, SL, BE, LU,	EE, KE, MN, SD, VC, SZ, BG, MC,	EG, KG, MW, SE, VN, TZ, CH, NL,	ES, KP, MX, SG, YU, UG, CY, PL,	FI, KR, MZ, SK, ZA, ZM, CZ, PT,	GB, KZ, NA, SL, ZM, ZW, DE, RO,	GD, LC, NI, SY, ZW AM, DK, SE,	
NL		826 826 0176 LN.	INFO	.:			2005 2007 2005 142:	0104 0811		US 2	004- 004- 003-	9188	26		2	0040 0040 0030	813	

Disclosed are title compds. I and their pharmaceutically acceptable salts [R1 H, halo, NO2, CHO, CN, (un) substituted hydroxy/dihydroxy/aryl/alkyl, etc.; R2 = H, OH, halo, (un) substituted alkyl, alkoxy, etc.; R3 = H, halo, (un) substituted aryl/alkoxycarbonyl, arylalkyl, arylthio, etc.; R4 = H, (un) substituted alkyl; R5 = H, aryl, arylalkyl, etc.]. These compds. are useful for treating diseases and conditions caused or exacerbated by unregulated p38 MAP Kinase and/or TNF activity. Pharmaceutical compns. containing the compds., methods of preparing the compds. and methods of

using the compds. are also disclosed. For example, II was prepared, in 3 steps, reacting 4-hydroxy-6-methylpyrone with NH4OH, followed by 0-alkylation with 2,4-difluorobenzyl chloride, and bromination with Br2 in AcOH/H2O. Selected I inhibited MKK6-activated human p38 α kinase phosphorylation of a biotinylated substrate or human p38 α -induced phosphorylation of EGFRP (epidermal growth factor receptor peptide) with an IC50 in the range of 1 $\mu \rm M$ to 25 $\mu \rm M$.

IT 586378-85-0P, 3-Bromo-4-[2-(4-fluorophenyl)ethynyl]-6-methyl-1-[(pyridin-3-yl)methyl]pyridin-2(1H)-one

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of pyridinones as modulators of p38 MAP kinase for treatment of inflammatory conditions, ischemia, viral infections, autoimmune diseases, and other conditions)

RN 586378-85-0 HCAPLUS

CN 2(1H)-Pyridinone, 3-bromo-4-[2-(4-fluorophenyl)ethynyl]-6-methyl-1-(3-pyridinylmethyl)- (CA INDEX NAME)

IT 586386-30-3P, 3-Bromo-1-(2,6-dichlorophenyl)-4-[(4fluorophenyl)ethynyl]-6-methylpyridin-2(1H)-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(p38 kinase inhibitor; preparation of pyridinones as modulators of p38 MAP kinase for treatment of inflammatory conditions, ischemia, viral infections, autoimmune diseases, and other conditions)

RN 586386-30-3 HCAPLUS

CN 2(1H)-Pyridinone, 3-bromo-1-(2,6-dichlorophenyl)-4-[2-(4-fluorophenyl)ethynyl]-6-methyl- (CA INDEX NAME)

L14 ANSWER 10 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:996178 HCAPLUS

DOCUMENT NUMBER: 141:424170

TITLE: Azaindole compounds as Janus kinase 3 (JAK3 kinase)

inhibitors, and their preparation, intermediates, and

pharmaceutical compositions

INVENTOR(S): David, Laurent; Hansen, Peter

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 46 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004099205	A1	20041118	WO 2004-SE696	20040506

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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
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             SN, TD, TG
                                             AU 2004-236146
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                          Α1
                                 20041118
                                                                     20040506
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     EP 1625127
                                 20060215
                                             EP 2004-731527
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     EP 1625127
                                 20070523
                          В1
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             IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
     BR 2004010117
                          Α
                                 20060523
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     CN 1784403
                          Α
                                 20060607
                                             CN 2004-80012626
                                                                     20040506
     JP 2006525998
                          Τ
                                 20061116
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                                                                     20040506
     AT 362932
                                             AT 2004-731527
                           Τ
                                 20070615
                                                                     20040506
     ES 2286634
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                                                                     20040506
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                                             IN 2005-DN4779
                          Α
                                                                     20051019
                                 20060203
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     MX 2005PA12026
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     US 20060287354
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                                 20061221
                                             US 2005-556227
                                                                     20051109
PRIORITY APPLN. INFO.:
                                             SE 2003-1372
                                                                  A 20030509
                                             WO 2004-SE696
                                                                  W
                                                                    20040506
OTHER SOURCE(S):
                         MARPAT 141:424170
GΙ
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The invention relates to novel azaindole compds. I. which are kinase inhibitors, specifically of Janus kinase 3, also known as JAK3 kinase. The invention also relates to methods and intermediates for preparation of I, and pharmaceutical compns. comprising I. In compds. I, Ar is Ph which can be optionally substituted by one or more groups selected from halo, OH, cyano, C1-C8 alkyl (itself optionally substituted by one or more OH or cyano groups or F atoms), CH2R2, CH2O(CH2)nO(C1-6-alkyl), or (C1-C8-alkyl)NR3R4; R2 is a 5- to 7-membered saturated ring containing 1 or 2 N/O/S heteroatoms, an aryl or a 5- to 7-membered heteroaryl containing 1-3 N/O/S heteroatoms, all of these being optionally substituted by one or more OH or CH2OH groups; R3 is H or C1-6 alkyl; and R4 is C1-6 alkyl

RN

optionally substituted by one or more groups OH or Ph; n is 1-4; R1 is H or Ph optionally substituted by halo, C1-C8 alkoxy, C1-C8 thioalkyl, or C1-C8 alkyl; and pharmaceutically acceptable salts thereof. Nineteen compds. I were prepared, some as trifluoroacetate salts, and these same compds. are all claimed individually as the free bases. For instance, 6-amino-4-methoxynicotinic acid Me ester was subjected to a sequence of: (1) electrophilic iodination in the 5-position, (2) alkyne coupling of the iodide with HC.tplbond.CC6H4F-4, (3) base-catalyzed cyclization of the alkyne adduct to give a pyrrolopyridine ring, (4) acidic saponification of the ester and demethylation of the methoxy group with HBr, (5) chlorination of the resultant hydroxy group and acid using POC13, with ammonolysis of the acid chloride, and (6) amination of the ring chloride with 2-ethylaniline, to give invention compound II. In a JAK3 HTRF assay, the example compds. had IC50 values less than 25 $\mu \rm M$.

IT 796032-89-8P, 6-Amino-5-[(4-fluorophenyl)ethynyl]-4-

methoxynicotinic acid methyl ester

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of azaindole derivs. as JAK3 kinase inhibitors) 796032-89-8 HCAPLUS

CN 3-Pyridinecarboxylic acid, 6-amino-5-[2-(4-fluorophenyl)ethynyl]-4-methoxy-, methyl ester (CA INDEX NAME)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 11 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:604339 HCAPLUS

DOCUMENT NUMBER: 141:277462

TITLE: Synthesis, optical properties, crystal structures and

phase behaviour of selectively fluorinated

1,4-bis(4'-pyridylethynyl)benzenes, 4-(phenylethynyl)pyridines and

9,10-bis(4'-pyridylethynyl)anthracene, and a Zn(NO3)2

coordination polymer

AUTHOR(S): Fasina, Tolulope M.; Collings, Jonathan C.; Lydon,

Donocadh P.; Albesa-Jove, David; Batsanov, Andrei S.; Howard, Judith A. K.; Nguyen, Paul; Bruce, Mitch; Scott, Andrew J.; Clegg, William; Watt, Stephen W.;

Viney, Christopher; Marder, Todd B.

CORPORATE SOURCE: Department of Chemistry, University of Durham, Durham,

DH1 3LE, UK

SOURCE: Journal of Materials Chemistry (2004), 14(15),

2395-2404

CODEN: JMACEP; ISSN: 0959-9428

PUBLISHER: Royal Society of Chemistry

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:277462

AB Selectively fluorinated and nonfluorinated rigid rods based on the 4-pyridylethynyl group, 1,4-bis(4'-pyridylethynyl)benzene (1a),

1,4-bis(4'-pyridylethynyl)tetrafluorobenzene (1b),

1,4-bis(2',3',5',6'-tetrafluoropyridylethynyl)benzene (1c),

1,4-bis(2',3',5',6'-tetrafluoropyridylethynyl)tetrafluorobenzene (1d),

9,10-bis(4'-pyridylethynyl)anthracene (2),

4-(pentafluorophenylethynyl)pyridine (3a) and

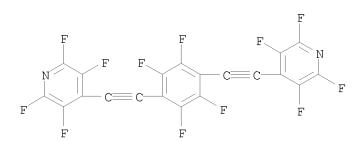
4-(phenylethynyl)tetrafluoropyridine (3b) were prepared in good yields using Pd/Cu-catalyzed Sonogashira cross-coupling reactions and/or Li chemical involving nucleophilic aromatic substitution. UV-visible absorption and fluorescence spectra for 1a-d and 2 are reported. The x-ray crystal structures of 1b, 1c, 2, 3a and 3b show a variety of packing motifs, none of which involve arene-perfluoroarene stacking. The phase behavior of 1a-1c was studied by DTA and transmitted polarized light microscopy. 1B exhibits an ordered phase from 227.6 to 272.5° which is either hexatic B or crystal B. A 1:1 complex (4) between 1b and Zn(NO3)2 was prepared; its crystal structure consists of zigzag polymer chains held together by H bonds.

IT 760981-37-1P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and luminescence spectra)

RN 760981-37-1 HCAPLUS

CN Pyridine, 4,4'-[(2,3,5,6-tetrafluoro-1,4-phenylene)di-2,1-ethynediyl]bis[2,3,5,6-tetrafluoro-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 96 THERE ARE 96 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 12 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:70323 HCAPLUS

DOCUMENT NUMBER: 140:253552

TITLE: Synthesis and light-emitting characteristics of

doughnut-shaped π -electron systems

AUTHOR(S): Yamaguchi, Yoshihiro; Kobayashi, Shigeya; Miyamura,

Satoshi; Okamoto, Yoshifumi; Wakamiya, Tateaki;

Matsubara, Yoshio; Yoshida, Zen-ichi

CORPORATE SOURCE: Faculty of Science and Engineering, Kinki University,

Higashi-Osaka, Osaka, 577-8502, Japan

PUBLISHER:

SOURCE: Angewandte Chemie, International Edition (2004),

43(3), 366-369

CODEN: ACIEF5; ISSN: 1433-7851 Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:253552

GΙ

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Highly sym., functionally and structurally interesting doughnut-shaped octakis-m-cyclynes I and similar octakis-p-cyclynes were synthesized and shown to be a new class of light-emitting fluorescent materials. A pentacoordinate CuII complex of I (R = MeO2C) exhibits remarkably intense fluorescence, contrary to the behavior expected for CuII complexes, which suggests that other transition-metal complexes of I may also function as luminescent materials.

IT 669063-99-4P 669064-01-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and light-emitting characteristics of doughnut-shaped octakis(cyclynes) and their complexes)

RN 669063-99-4 HCAPLUS

CN 4-Pyridinecarboxylic acid, 2-[[4-[[6-[(4-iodophenyl)ethynyl]-4-(methoxycarbonyl)-2-pyridinyl]ethynyl]phenyl]ethynyl]-6[(trimethylsilyl)ethynyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 669064-01-1 HCAPLUS

CN 4-Pyridinecarboxylic acid, 2-ethynyl-6-[[4-[[6-[(4-iodophenyl)ethynyl]-4-(methoxycarbonyl)-2-pyridinyl]ethynyl]phenyl]ethynyl]-, methyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 13 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:656582 HCAPLUS

DOCUMENT NUMBER: 139:197371

TITLE: Preparation of substituted pyridinones as modulators

of p38 MAP kinase

INVENTOR(S): Devadas, Balekudru; Walker, John; Selness, Shaun R.;

Boehm, Terri L.; Durley, Richard C.; Devraj, Rajesh; Hickory, Brian S.; Rucker, Paul V.; Jerome, Kevin D.; Madsen, Heather M.; Alvira, Edgardo; Promo, Michele

A.; Blevis-Bal, Radhika M.; Marrufo, Laura D.;

Hitchcock, Jeff; Owen, Thomas; Naing, Win; Xing, Li; Shieh, Huey S.; Sambandam, Aruna; Liu, Shuang; Scott,

Ian L.; McGee, Kevin F.

PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: PCT Int. Appl., 1052 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PAT	CENT	NO.			KIN	D	DATE			APPL	ICAT	ION 1	NO.		D	ATE	
WO	2003	0682	30		A1	_	 2003	0821	1	WO 2	003-1	us 46.	 34		2	0030	214
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		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MΖ,	NO,	NΖ,	OM,	PH,
		PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ΤJ,	TM,	TN,	TR,	TT,	TZ,
		UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW						
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		KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
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		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG	
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	20040058	964		A1		2004			US	2003	3-367	987			20030	214
	7067540			В2		2006	-									
	20030076	31		А			1221				3-763				20030	
EP	1490064			A1		2004					3-713				20030	
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CN	1646125			A		2005	0727		CN	2003	3-808	042			20030	214
JP	20055315	01		T		2005	1020		JΡ	2003	3-567	412			20030	214
JP	4164031			В2		2008	1008									
NZ	534395			A		2006	1027		NZ	2003	3-534	395			20030	214
IN	2004DN02	150		A		2005	0401		IN	2004	4-DN2	150			20040	723
MX	2004PA07	470		A		2004	1110		MX	2004	4-PA7	470			20040	802
ZA	20040062	75		A		2005	1004		ZA	2004	4-627	5			20040	805
NO	20040038	20		A		2004	1109		ИО	2004	4-382	0			20040	913
US	20060211	694		A1		2006	0921		US	2005	5-226	556			20050	914
US	20070088	033		A1		2007	0419		US	2006	5-531	492			20060	913
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KR	20070174	43		A		2007	0209		KR	200	7-701	895			20070	125
AU	20072026	07		A1		2007	0628		ΑU	200	7-202	607			20070	1607
PRIORITY	APPLN.	INFO	.:						US	2002	2-357	029P		Р	20020	214
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									WO	2003	3-US4	634		W	20030	214
									KR	2004	4-712	622		АЗ	20040	813
											5-226				20050	
OTHED CO	NIDCE (C).			MADD7	۱т	130.	1073	71								

OTHER SOURCE(S): MARPAT 139:197371

Disclosed are title compds. I [wherein R1 = H, halo, NO2, CHO, CN, CO2H, or (un)substituted (halo)alkyl, (aryl)alkoxy, aryl(alkyl), alkenyl, (aryl)alkynyl, (aryl)alkanoyl, alkoxyalkyl, or haloalkoxy; R2 = H, OH, halo, NR8R9, CO2R, or (un)substituted OSO2-alkyl, OSO2-aryl, arylalkoxy, aryloxy(alkyl), arylthio(alkoxy), arylalkynyl, alkoxy(alkoxy), alkyl, alkynyl, OCONH(CH2)n-aryl, OCON(alkyl)(CH2)n-aryl, dialkylamino, (hetero)aryl(alkyl), arylalkenyl, or heterocycloalkyl(alkyl); R3 = H, halo, alkenyl, NR6R7, NR6R7-alkyl, alkyl, or (un)substituted (aryl)alkoxycarbonyl, aryloxycarbonyl, arylalkyl, OCONH(CH2)n-aryl, arylalkoxy, OCON(alkyl)(CH2)n-aryl, aryloxy, arylthio, or (aryl)thioalkoxy; R4 = H or (un)substituted alkyl; R5 = H, aryl, aryl(thio)alkyl, NH2, alkoxycarbonyl, alkynyl, SO2-alkyl,

(hetero)cycloalkyl(alkyl), heteroaryl, or (un)substituted alkyl, alkoxy(alkyl), or alkenyl; R6 and R7 = independently H, OH, or (un) substituted (aryl) alkyl, alkoxy(alkyl), alkanoyl(alkyl), arylalkoxy, SO2-alkyl, (aryl)alkoxycarbonyl, heteroarylalkyl, or arylalkanoyl; or NR6R7 = (un)substituted (thio)morpholinyl, pyrrolidinyl, piperidinyl, pyrrolidinyl, or piperazinyl; R8 = independently H or (un)substituted (aryl)alkyl or (aryl)alkanoyl; R9 = H or (un)substituted (aryl)alkyl, (aryl)alkanoyl, cycloalkyl(alkyl), alkenyl, heteroaryl, (alkyl)aminoalkyl, SO2Ph, or aryl; R = independently H or (un) substituted alkyl; n = 0-6; and pharmaceutically acceptable salts thereof]. These compds. are useful for treating diseases and conditions caused or exacerbated by unregulated p38 MAP Kinase and/or TNF activity, such as inflammation, ischemia, viral infections, and autoimmune diseases (no data). Pharmaceutical compns. containing I, methods of preparing them, and methods of treatment using the compds. are also disclosed. For example, reaction of 4-benzyloxy-2(1H)-pyridone with EtBr in the presence of K2CO3 in DMF gave II. The latter inhibited MKK6-activated human p38 α kinase phosphorylation of a biotinylated substrate or human p38 α -induced phosphorylation of EGFRP (epidermal growth factor receptor peptide) with an IC50 in the range of 1 μM to 25 μM .

IT 586378-85-0P, 3-Bromo-4-[2-(4-fluorophenyl)ethynyl]-6-methyl-1 [(pyridin-3-yl)methyl]pyridin-2(1H)-one
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(intermediate; preparation of pyridinones as modulators of p38 MAP kinase for treatment of inflammatory conditions, ischemia, viral infections, autoimmune diseases, and other conditions)

RN 586378-85-0 HCAPLUS

CN 2(1H)-Pyridinone, 3-bromo-4-[2-(4-fluorophenyl)ethynyl]-6-methyl-1-(3-pyridinylmethyl)- (CA INDEX NAME)

IT 586386-30-3P, 3-Bromo-1-(2,6-dichlorophenyl)-4-[(4fluorophenyl)ethynyl]-6-methylpyridin-2(1H)-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(p38 kinase inhibitor; preparation of pyridinones as modulators of p38 MAP kinase for treatment of inflammatory conditions, ischemia, viral infections, autoimmune diseases, and other conditions)

RN 586386-30-3 HCAPLUS

CN 2(1H)-Pyridinone, 3-bromo-1-(2,6-dichlorophenyl)-4-[2-(4-fluorophenyl)ethynyl]-6-methyl- (CA INDEX NAME)

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 14 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:385603 HCAPLUS

DOCUMENT NUMBER: 139:149513

TITLE: Shape-Persistent Macrocycles with Terpyridine Units:

Synthesis, Characterization, and Structure in the

Crystal

AUTHOR(S): Grave, Christian; Lentz, Dieter; Schaefer, Andreas;

Samori, Paolo; Rabe, Juergen P.; Franke, Peter;

Schlueter, A. Dieter

CORPORATE SOURCE: Institut fuer Chemie, Freie Universitaet Berlin,

Berlin, D-14195, Germany

SOURCE: Journal of the American Chemical Society (2003),

125(23), 6907-6918

CODEN: JACSAT; ISSN: 0002-7863

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:149513

GΙ

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The synthesis of a variety of shape-persistent macrocycles with either one or two opposing terpyridine units and inner diams. of up to 2 nm is described. The sequences are mainly based on transition metal cross-coupling reactions and, whenever appropriate, compared with one another regarding their resp. efficiency. Typical overall yields and amts. prepared range from 8% to 27% and 25 mg to 290 mg. For solubility and processing of the targeted cycles, all precursors were equipped with flexible side chains (hexyloxy or hexyloxymethyl). Characterization of the products is based on MALDI-TOF mass spectrometry, 2D NMR spectroscopy, and/or low-temperature single-crystal X-ray diffraction. Their packing in the crystal is discussed in terms of both number and length of side chains. Cycle I was physisorbed into an ordered structure at the solution-HOPG interface and investigated by scanning tunneling microscopy (STM).

IT 569672-29-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation, characterization, and crystal structure of shape-persistent macrocycles with terpyridine units)

RN 569672-29-3 HCAPLUS

CN Pyridine, 2-bromo-5-[2-[3-bromo-5-(hexyloxy)phenyl]ethynyl]- (CA INDEX NAME)

Me-(CH₂)₅-0
$$C \equiv C$$
Br

REFERENCE COUNT: 105 THERE ARE 105 CITED REFERENCES AVAILABLE FOR

THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L14 ANSWER 15 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:893916 HCAPLUS

DOCUMENT NUMBER: 138:294508

TITLE: Molecular design on substituted DAST derivatives for

second-order nonlinear optics

AUTHOR(S): Umezawa, Hirohito; Tsuji, Kyoko; Okada, Shuji; Oikawa,

Hidetoshi; Matsuda, Hiro; Nakanishi, Hachiro

CORPORATE SOURCE: Institute of Multidisciplinary Research for Advanced

DRPORATE SOURCE: Institute of Multidisciplinary Research for Advanced

Materials, Tohoku University, Aoba-ku, Sendai,

980-8577, Japan

SOURCE: Optical Materials (Amsterdam, Netherlands) (2003),

21(1-3), 75-78

CODEN: OMATET; ISSN: 0925-3467

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

AB Mol. design of the derivs. of 1-methyl-4-(2-(4-

(dimethylamino)phenyl)ethynyl)pyridinium (DAS) was investigated from the following two points, i.e., simple substitution of one aromatic hydrogen atom

to enhance hyperpolarizability β and fluorine substitution to

decrease optical loss due to overtones of C-H bond vibration. By the

screening using semiempirical calcn.,

2-cyano-1-methyl-4-(2-(4-(dimethylamino)phenyl)ethynyl)pyridinium 7,

2,3,5,6-tetrafluoro-1-methyl-4-(2-(4-(dimethylamino)-2,3,5,6-

tetrafluorophenyl)ethynyl)pyridinium 10, etc. were expected to have larger

 β than that of DAS. The salts of 7 and

1-methyl-4-(2-(4-(dimethylamino)-2,3,5,6-

tetrafluorophenyl)ethynyl)pyridinium as a related cation of 10 were synthesized and four crystals showing second-harmonic generation were found.

IT 506438-90-0

RL: PRP (Properties)

(mol. design on substituted DAST derivs. for second-order nonlinear optics)

RN 506438-90-0 HCAPLUS

CN Pyridinium, 4-[2-[4-(dimethylamino)-2,3,5,6-tetrafluorophenyl]ethynyl]-2,3,5,6-tetrafluoro-1-methyl- (CA INDEX NAME)

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 16 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:736252 HCAPLUS

DOCUMENT NUMBER: 137:263031

TITLE: Preparation of 5-substituted imidazolidine-2,4-diones

as metalloproteinase inhibitors

INVENTOR(S): Eriksson, Anders; Lepistoe, Matti; Lundkvist, Michael;

Munck Af Rosenschoeld, Magnus; Zlatoidsky, Pavol

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 153 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PA:	ΓΕΝΤ	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.	DATE						
WO	2002	0747	 67		A1	_	2002	0926		WO 2	002-	 SE47	2		2	0020	313			
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							IN,													
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							YU,													
	RW:	GH,															•			
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							NL,				BF,	BJ,	CF,	CG,	CI,	CM,	GA,			
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AU	2002						2007		•	AO 2	002	2370	20		2	0020	313			
	2003						2003			EE 2	003-	445			2	0020	313			
	1370						2003			EP 2		_				0020.				
EP	1370	556			B1		2006	0719												
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	1509						2004			CN 2						0020				
CN	1509	276			А		2004	0630		CN 2	002-	8100	93		2	0020	313			

CN	12698	304			С		2006	0816									
JP	20045	5275	15		T		2004	0909	JE	2	2002-	5737	76			20020	313
HU	20040	0003	27		A2		2005	0128	JН	J 2	2004-3	327				20020	313
HU	20040	0003	27		А3		2005	0628									
NZ	52810	06			A		2005	0324	NZ	2	2002-	5281	06			20020	313
	16768				A2		2006		EF	2	2006-8	3158				20020	313
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		•	SI,	LT,	•	FI,	,	,	CY, A	,			_				
	33345				T		2006				2002-					20020	
	22882	-			C2		2006				2003-1		-			20020	
_	22679				Т3		2007			_	2002-					20020	
_	19626	-			А		2007		_		2006-2	-				20020	
IN	20031	4N00	805		А		2005	0318	11	1 2	1-8009	.08MM	5			20030	1827
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ZA	20030	0067	32		Α		2004	1129	ZP	2	2003-6	5732				20030	1828
ZA	20030	0067	34		A		2004	1129	ZP	2	2003-6	5734				20030	1828
ZA	20030	0067	37		Α		2004	1129	ZI	2	2003-6	6737				20030	1828
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NO	20030	0040	45		A		2003	1110	NC	2	2003-	4045				20030	912
US	20040	127	528		A1		2004	0701	US	3 2	2004-	47190	0 0			20040	114
US	74276	531			В2		2008	0923									
HK	10599	932			A1		2006	1222	HF	(2	2004-1	10279	96			20040	421
US	20080	0171	882		A1		2008	0717	US	3 2	2007-9	9280	40			20071	.030
PRIORIT	Y APPI	IN.	INFO	.:					SE	2	2001-9	902			A	20010	315
									CI	1 2	2002-8	31009	93		А3	20020	313
									EF	2	2002-	70403	31		А3	20020	313
											2002-					20020	
									US	3 2	2004-	47190	00		A1	20040	114
OTHER SO	TIRCE.	(8).			MARI	ТΔС	137.	26303					-				

OTHER SOURCE(S): MARPAT 137:263031

GI

$$R^3$$
 R^4
 R^2
 NH
 Y^2
 I
 NH
 $N - SO_2$

AB The title compds. [I; X = NR1, O, S; Y1, Y2 = O, S; Z = SO, SO2; M = 1, 2; A = a bond, alkyl, haloalkyl, etc.; R1 = H, alkyl, haloalkyl; R2, R3 = H,

halo, alkyl, etc.; R4 = H, halo, alkyl, haloalkyl; R5 = monocyclic, bicyclic or tricyclic group selected from (un)substituted cycloalkyl, aryl, heterocycloalkyl, heteroaryl], useful as metalloproteinase inhibitors, especially as inhibitors of MMP12, were prepared. Thus, reacting 1-[4-(4-fluorophenyl)phenyl]piperazine and 2-(2,5-dioxo-4-imidazolidinyl)-1-ethanesulfonyl chloride (preparation given) in the presence Et3N in CH2Cl2 afforded II.

IT 459819-55-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 5-substituted imidazolidine-2,4-diones as metalloproteinase inhibitors)

RN 459819-55-7 HCAPLUS

CN 1(2H)-Pyridinecarboxylic acid, 4-[2-(4-chlorophenyl)ethynyl]-3,6-dihydro-, 1,1-dimethylethyl ester (CA INDEX NAME)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 17 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:736236 HCAPLUS

DOCUMENT NUMBER: 137:247696

TITLE: Preparation of 5-substituted imidazolidine-2,4-diones

as metalloproteinase inhibitors

INVENTOR(S): Eriksson, Anders; Lepistoe, Matti; Lundkvist, Michael;

Munck Af Rosenschoeld, Magnus; Zlatoidsky, Pavol

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 300 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2002074750	A1 20020926	WO 2002-SE475	20020313
W: AE, AG, AL,	AM, AT, AU, AZ,	BA, BB, BG, BR, BY, BZ,	CA, CH, CN,
CO, CR, CU,	CZ, DE, DK, DM,	DZ, EC, EE, ES, FI, GB,	GD, GE, GH,
GM, HR, HU,	ID, IL, IN, IS,	JP, KE, KG, KP, KR, KZ,	LC, LK, LR,
LS, LT, LU,	LV, MA, MD, MG,	MK, MN, MW, MX, MZ, NO,	NZ, OM, PH,
PL, PT, RO,	RU, SD, SE, SG,	SI, SK, SL, TJ, TM, TN,	TR, TT, TZ,
UA, UG, US,	UZ, VN, YU, ZA,	ZM, ZW	
RW: GH, GM, KE,	LS, MW, MZ, SD,	SL, SZ, TZ, UG, ZM, ZW,	AT, BE, CH,
CY, DE, DK,	ES, FI, FR, GB,	GR, IE, IT, LU, MC, NL,	PT, SE, TR,
BF, BJ, CF,	CG, CI, CM, GA,	GN, GQ, GW, ML, MR, NE,	SN, TD, TG
CA 2440632	A1 20020926	CA 2002-2440632	20020313

EE	20022	00439	9		Α		2003		EE	2	003-					200	203	313
EP	13705								EP									
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								•	CY, A									
	20020							0309				8105				200		
	15092				А		2004	0630	CN	20	002-	8100	41			200	203	313
HU	20040	0002)6		A2		2004	0830	HU	20	004 -	206				200	203	313
HU	20040	00020)6		А3		2004	1028										
JP	20045	5275	11		T		2004	0909	JP	20	002-	5737	59			200	203	313
EP	16768	346			A2		2006	0705	EP	20	006-	8158				200	203	313
EP	16768	346			АЗ		2006	0726										
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB, G	R,	ΙT,	LI,	LU,	NL,	SE	. M	С,	PT,
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	20031								IN							200	308	327
	2003E							1212				PA818				200		
	20030							1113				4025				200		
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AB The title compds. [I; X = NR1, O, S; B = C, CH, and is a point of attachment of one or more other functional groups or side chains; Y1, Y2 = O, S; R1 = H, alkyl, haloalkyl], useful in the treatment of a disease or condition mediated by one or more metalloproteinase enzymes (no biol. data), were prepared E.g., a 4-step synthesis of II, starting with 4-(4-chlorophenyl)benzaldehyde, was given.

459819-55-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 5-substituted imidazolidine-2,4-diones as metalloproteinase inhibitors)

RN 459819-55-7 HCAPLUS

CN 1(2H)-Pyridinecarboxylic acid, 4-[2-(4-chlorophenyl)ethynyl]-3,6-dihydro-, 1,1-dimethylethyl ester (CA INDEX NAME)

ΙT

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 18 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:532117 HCAPLUS

DOCUMENT NUMBER: 137:247471

TITLE: C1-C5 Photochemical Cyclization of Enediynes

AUTHOR(S): Alabugin, Igor V.; Kovalenko, Serguei V.

CORPORATE SOURCE: Department of Chemistry and Biochemistry, Florida State University, Tallahassee, FL, 32306-4390, USA

Journal of the American Chemical Society (2002),

124(31), 9052-9053

CODEN: JACSAT; ISSN: 0002-7863

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 137:247471

GΙ

SOURCE:

AB Bis(tetrafluoropyridinylethynyl) benzenes I (R = R1 = H, Me; R = H, Cl; R1 = Cl, H) undergo photochem. activated cyclization of enedignes to provide indenes II as the major products in 2-22% yields. The cyclization of I (R = H; R1 = Cl) is regioselective, giving II (R = Cl; R1 = H) as the major product. The remainder of the mass balance in the photochem. cyclization of I to II was made up of radical addition products derived from I and 1,4-cyclohexadiene. The photochem. cyclizations of I to II operate by a mechanism different from that operating in the Bergmann cyclization of enedignes; the key step in this cyclization is photoinduced electron

transfer from 1,4-cyclohexadiene to I. The energies of the starting materials, transition states for cyclization, and radical products formed from the photochem. cyclizations of (Z)-3-hexen-1,5-diyne and 1,2-diethynylbenzene are calculated for both neutral radical and radical anion pathways. The crystal structure of II (R = R1 = Me) was determined by X-ray crystallog.

IT 459457-32-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and photochem. cyclization reactions of (tetrafluoropyridinylethynyl)benzenes to give indenes)

RN 459457-32-0 HCAPLUS

CN Pyridine, 4,4'-[(4-chloro-1,2-phenylene)di-2,1-ethynediyl]bis[2,3,5,6-tetrafluoro-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
C & & F \\
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C & C & F \\
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F & & F
\end{array}$$

REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 19 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:511159 HCAPLUS

DOCUMENT NUMBER: 131:157709

TITLE: Preparation of bicyclic pyridine and pyrimidine derivatives as neuropeptide Y receptor antagonists

INVENTOR(S): Norman, Mark H.; Chen, Ning; Han, Nianhe; Liu, Longbin; Hurt, Clarence R.; Fotsch, Christopher H.;

Jenkins, Tracy J.; Moreno, Ofir A.

PATENT ASSIGNEE(S): Amgen Inc., USA

SOURCE: PCT Int. Appl., 469 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.		D	ATE	
					_											
WO 9940	091			A1		1999	0812		WO 1	999-	US25	00		1	9990:	205
W:	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
	DK,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,

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KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN,
             MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,
             TR, TT, UA, UG, UZ, VN, YU, ZW
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             CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     US 6187777
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     AU 747920
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PRIORITY APPLN. INFO.:
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                                                                    19980206
                                             US 1998-73981P
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                                                                    19980206
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                                                                 Ρ
                                                                    19980720
                                             US 1998-93577P
                                                                 Ρ
                                                                    19980720
                                             US 1999-246775
                                                                A 19990204
                                            WO 1999-US2500
                                                                W 19990205
OTHER SOURCE(S):
                        MARPAT 131:157709
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Ι

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Title compds.[I; R = H, CH3, (CH3)2CH, SCH3, CH3CH2, NH2, CF3, NHCOC6H5, cyclopropyl, CH2OH, (CH3)2CH2CH2, N(CH3)2, OCH3, NHCH3, NH(CH2)4NH2; R1 = NH, S, NCH3, O; R2 = H, COCH3, C6H5, CH3, CH3CH2; R3 = NH2, CH3, NHC6H5, N(CH2CH3)2, (CH3CH2)N(CH2)3CH3, (CH3)N(CH2)2NHCH3, N(CH3)CH(CH3)CH(Ph)OH, (CH3CH2)NCH2C(CH3):CH2, NHCH2CF3, NHCH2CH2C6H5, NH(CH2)3OCH2CH3, 4-C1C6H4, 4-CH3OC6H5, 2-thienyl, 1-pyrrolidinyl, 1-piperidinyl, 4-morpholinyl, 1-piperazinyl, 3-pyridyl; R4 = C6H5, 4-CH3C6H4, 4-C1C6H4, (CH3)3C, 4-FC6H4, 3-HOC6H4, 2-pyridyl, cyclohexyl, 2-furyl, 2-FC6H4 2-thienyl, 1-adamantyl, CH3, 4-CH3OC6H4; X = N, CH; etc.], pharmaceutical acceptable salts, ester, solvate, and N-oxide are prepared and tested as neuropeptide Y receptor antagonists in the modulation of feeding behavior, obesity, diabetes, cancer, inflammatory disorders, depression, stress related disorders, Alzheimer's disease and other disease conditions. Thus, the title compound I (R = CH3; R1 = NH; X = N; R2 = H; R3 = N(CH2CH3)2; R4 = C6H5) was prepared

10598512

IT 237435-20-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrrolopyridine and pyrrolopyrimidine derivs. as neuropeptide Y receptor antagonists)

RN 237435-20-0 HCAPLUS

CN 3-Pyridinamine, 4-chloro-2-[2-(4-fluorophenyl)ethynyl]- (CA INDEX NAME)

$$C1$$
 C
 C
 C
 C
 C
 C
 C

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 20 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:148325 HCAPLUS

Correction of: 1999:64775

DOCUMENT NUMBER: 130:153580

Correction of: 130:124995

TITLE: Preparation of pyridine derivatives for treating

disorders mediated full or in part by mGluR5

INVENTOR(S): Allgeier, Hans; Auberson, Yves; Biollaz, Michel;

Cosford, Nicholas David; Gasparini, Fabrizio;

Heckendorn, Roland; Johnson, Edwin Carl; Kuhn, Rainer;

Varney, Mark Andrew; Velicelebi, Gonul

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen

Verwaltungsgesellschaft m.b.h.; Sibia Neurosciences

Inc.

SOURCE: PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PA:	FENT	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.		D	ATE	
	9902 9902				A2 A3		 1999 1999			WO 1	998-	EP42	66		1	9980	709
	W:	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	ВG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
		DK,	EE,	ES,	FΙ,	GB,	GE,	GH,	GM,	HU,	ID,	IL,	IS,	JP,	ΚE,	KG,	KP,
		KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,
		NΖ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	TR,	TT,	UA,
		UG,	US,	UZ,	VN,	YU,	ZW										
	RW:	GH,	GM,	ΚE,	LS,	MW,	SD,	SZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	DE,	DK,	ES,
		FΙ,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,
		CM,	GΑ,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG						
TW	5444	48			В		2003	0801		TW 1	998-	8711	0887		19	9980	706
CA	2295	678			A1		1999	0121		CA 1	998-	2295	678		19	9980	709
ΑIJ	9889	743			А		1999	0208		AU 1	998-	8974.	3		19	9980	709

EP	73897 99845 99845	9			B2 A2 B1		2001 2000 2008	0510		EP	19	98-	9413	08			199	80'	709
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	З,	IT,	LI,	LU,	NL,	SE	I, M	С,	PT,
		IE,	SI,	FI,	RO,	CY													
TR	20000	0059	9		Τ2		2000	0621		TR	20	00-	59				199	80'	709
BR	98116	85			Α		2000	0919		BR	19	98-	1168	5			199	80'	709
HU	20000	0422	25		A2		2001	0528		HU	20	00-	4225				199	80'	709
HU	20000	0422	25		A3		2001	0628											
JP	20015	0950) 4		T		2001	0724		JΡ	20	00-	5020	25			199	80	709
JP	34812	8 0			В2		2003	1222											
NZ	50221	0			A		2002	0726		NZ	19	98-	5022	10			199	80'	709
RU	22038	89			C2		2003	0510		RU	20	00-	1026	67			199	80.	709
CN	12030	60			С		2005	0525		CN	19	98-	8070	50			199	80'	709
AT	39314	5			T		2008	0515		ΑT	19	98-	9413	8 0			199	80'	709
ZA	98061	37			Α		1999	0122		ZA	19	98-	6137				199	80'	710
ИО	20000	0012	24		A		2000	0302		ИО	20	00-	124				200	00.	110
MX	20000	0433	3		A		2001	0821		MX	20	00-	433				200	00	111
US	66569	57			В1		2003	1202		US	20	00-	7228	03			200	01	127
PRIORITY	Z APPL	Ν.]	INFO	.:						US	19	97-	8906	89		Α	199	70	711
										US	19	97-	8916	91		Α	199	70	711
										WO	19	98-	EP42	66		W	199	80.	709
										US	20	00-	4625	11		В1	200	002	224

OTHER SOURCE(S): MARPAT 130:153580

R3 R4

 \mathbb{R}^{1}

AB The title compds. [I; R1 = H, lower alkyl, hydroxy-lower alkyl, etc.; R2 = H, lower alkyl, CO2H, etc.; R3 = H, lower alkyl, CO2H, etc.; R4 = H, lower alkyl, OH, etc.; X = an optionally halo-substituted lower alkenylene or alkynylene bonded via vicinal unsatd. carbon atoms or an azo group; R5 = (un)substituted aromatic or heteroarom.] and their salts, useful for treating disorders mediated full or in part by mGluR1 or mGluR5 (no data) such as epilepsy, cerebral ischemia, ischemic diseases of the eye, muscle spasms, convulsions, pain, acute, traumatic and chronic degenerative processes of the nervous system and psychiatric diseases, were prepared Thus, reaction of 2,6-dimethylpyridine with 3-cyanobenzaldehyde in Ac2O afforded I [R1 = Me; R2-R4 = H; X = CH:CH; R5 = 3-NCC6H4].

IT 219913-73-2P 219913-80-1P 219913-82-3P 219913-87-8P 219914-33-7P 219914-34-8P

219914-35-9P 219914-49-5P 219914-52-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridine derivs. for treating disorders mediated full or in part by mGluR5)

10598512

RN 219913-73-2 HCAPLUS

CN 3-Pyridinecarboxylic acid, 6-[2-(3-fluorophenyl)ethynyl]-2-methyl-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} C & C \\ \hline \\ EtO-C \\ O & Me \end{array}$$

RN 219913-80-1 HCAPLUS

CN 2-Pyridinecarboxylic acid, 6-[2-(3,5-dichlorophenyl)ethynyl]-5-[3-(dimethylamino)propoxy]-, ethyl ester (CA INDEX NAME)

Me₂N- (CH₂)₃-O
$$C = C$$
 C1

RN 219913-82-3 HCAPLUS

CN 3-Pyridinecarboxylic acid, 6-[2-(3-fluorophenyl)ethynyl]-2-methyl- (CA INDEX NAME)

$$C = C$$
 N
 Me

RN 219913-87-8 HCAPLUS

CN 3-Pyridinecarboxylic acid, 2-[2-(3-fluorophenyl)ethynyl]-6-methyl-, ethyl ester (CA INDEX NAME)

RN 219914-33-7 HCAPLUS

CN 4-Pyridinecarboxylic acid, 2-[2-(3-fluorophenyl)ethynyl]-6-methyl-, ethyl ester (CA INDEX NAME)

RN 219914-34-8 HCAPLUS

CN 4-Pyridinecarboxylic acid, 2-[2-(3-fluorophenyl)ethynyl]-6-methyl-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 219914-35-9 HCAPLUS

CN 4-Pyridinecarboxylic acid, 2-[2-(3-fluorophenyl)ethynyl]-6-methyl- (CA INDEX NAME)

$$HO_2C$$
 $C = C$ F

RN 219914-49-5 HCAPLUS

CN 3-Pyridinecarboxylic acid, 6-[2-(3-fluorophenyl)ethynyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

219914-52-0 HCAPLUS RN

CN 3-Pyridinecarboxylic acid, 6-[2-(3-fluorophenyl)ethynyl]- (CA INDEX NAME)

$$C = C$$
 F

L14 ANSWER 21 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:64775 HCAPLUS

DOCUMENT NUMBER: 130:124995

Preparation of pyridine derivatives for treating TITLE:

disorders mediated full or in part by mGluR5

Allgeier, Hans; Auberson, Yves; Biollaz, Michel; INVENTOR(S):

Cosford, Nicholas David; Gasparini, Fabrizio;

Heckendorn, Roland; Johnson, Edwin Carl; Kuhn, Rainer;

Varney, Mark Andrew; Velicelebi, Gonul

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen

Verwaltungsgesellschaft m.b.H.; Sibia Neurosciences

SOURCE: PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

PATENT INFORMATION:

	PATENT NO.					KIN	D	DATE			APPL	ICAT	ION 1	NO.		D	ATE		
	WO	9902	497	A2				1999	0121	W	0 19	98-E	P426	6		1	9980	709	
	W:	AL,	AM,	AT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,	DK,	
		EE,	ES,	FI,	GB,	GE,	GH,	GM,	HU,	ID,	IL,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	
	LC, LK, LR, I				LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NΖ,	PL,	PT,	
	RO, RU, SD, SI				SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	UA,	UG,	US,	UZ,	VN,	
		YU,	ZW,	AM,	AZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM							
	RW:	ΑT,	BE,	BF,	ВJ,	CF,	CG,	CH,	CI,	CM,	CY,	DE,	DK,	ES,	FI,	FR,	GΑ,	GB,	
		GR,	IE,	ΙΤ,	LU,	MC,	ML,	MR,	ΝE,	NL,	PT,	SE,	SN,	TD,	ΤG				
PRIOF	PRIORITY APPLN. INFO.:									U	S 19	97-8	9169	1		1:	9970	711	
	MIONIII AII IIII. IIII O									U	S 19	97-8	9068	9		1:	9970	711	
OTHER	THER SOURCE(S):						PAT	130 •	1249	95									

OTHER SOURCE(S): MARPAT 130:124995

GΙ

$$R^3$$
 R^4 $X-R^5$ R^4

AB The title compds. [I; R1 = H, lower alkyl, hydroxy-lower alkyl, etc.; R2 = H, lower alkyl, CO2H, etc.; R3 = H, lower alkyl, CO2H, etc.; R4 = H, lower alkyl, OH, etc.; X = an optionally halo-substituted lower alkenylene or alkynylene bonded via vicinal unsatd. carbon atoms or an azo group; R5 = (un)substituted aromatic or heteroarom.] and their salts, useful for treating disorders mediated full or in part by mGluR1 or mGluR5 (no data) such as epilepsy, cerebral ischemia, ischemic diseases of the eye, muscle spasms, convulsions, pain, acute, traumatic and chronic degenerative processes of the nervous system and psychiatric diseases, were prepared Thus, reaction of 2,6-dimethylpyridine with 3-cyanobenzaldehyde in Ac2O afforded I [R1 = Me; R2-R4 = H; X = CH:CH; R5 = 3-(NC)C6H5].

IT 219913-73-2P 219913-80-1P 219913-82-3P 219913-87-8P 219914-33-7P 219914-34-8P 219914-35-9P 219914-49-5P 219914-52-0P

Ι

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridine derivs. for treating disorders mediated full or in part by mGluR5)

RN 219913-73-2 HCAPLUS

CN 3-Pyridinecarboxylic acid, 6-[2-(3-fluorophenyl)ethynyl]-2-methyl-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} C & C \\ \hline \\ EtO-C \\ \hline \\ O & Me \end{array}$$

RN 219913-80-1 HCAPLUS

CN 2-Pyridinecarboxylic acid, 6-[2-(3,5-dichlorophenyl)ethynyl]-5-[3-(dimethylamino)propoxy]-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me}_2\text{N-} \text{(CH}_2\text{)}_3\text{-O} \\ \hline \\ \text{EtO-C} \\ \text{O} \end{array}$$

RN 219913-82-3 HCAPLUS

CN 3-Pyridinecarboxylic acid, 6-[2-(3-fluorophenyl)ethynyl]-2-methyl- (CA INDEX NAME)

$$C = C \qquad F$$

$$HO_2C \qquad Me$$

RN 219913-87-8 HCAPLUS

CN 3-Pyridinecarboxylic acid, 2-[2-(3-fluorophenyl)ethynyl]-6-methyl-, ethyl ester (CA INDEX NAME)

RN 219914-33-7 HCAPLUS

CN 4-Pyridinecarboxylic acid, 2-[2-(3-fluorophenyl)ethynyl]-6-methyl-, ethyl ester (CA INDEX NAME)

10598512

RN 219914-34-8 HCAPLUS

CN 4-Pyridinecarboxylic acid, 2-[2-(3-fluorophenyl)ethynyl]-6-methyl-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 219914-35-9 HCAPLUS

CN 4-Pyridinecarboxylic acid, 2-[2-(3-fluorophenyl)ethynyl]-6-methyl- (CA INDEX NAME)

$$HO_2C$$
 C C F Me

RN 219914-49-5 HCAPLUS

CN 3-Pyridinecarboxylic acid, 6-[2-(3-fluorophenyl)ethynyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 219914-52-0 HCAPLUS

CN 3-Pyridinecarboxylic acid, 6-[2-(3-fluorophenyl)ethynyl]- (CA INDEX NAME)

L14 ANSWER 22 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:513625 HCAPLUS

DOCUMENT NUMBER: 127:190650

ORIGINAL REFERENCE NO.: 127:36973a,36976a

10598512

TITLE: Preparation of dihydropyridines, pyridines,

benzopyranones, and triazoloquinazolines for use as

adenosine receptor antagonists

INVENTOR(S): Jacobson, Kenneth A.; Jiang, Ji-Long; Kim, Yong-Chul;

Karton, Yishai; Van Rhee, Albert M.

PATENT ASSIGNEE(S): United States Dept. of Health and Human Services, USA

SOURCE: PCT Int. Appl., 138 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA.	PATENT NO.						DATE			APP	LICA	TION	NO.		D	ATE	
	9727 9727									WO	1997	-US12	52		1	9970	129
	W:	AL,	AM,	ΑT,	ΑU,	AZ,	BB,	BG,	BR,	ВҮ	, CA	, СН,	CN,	CZ,	DE,	DK,	EE,
		ES,	FΙ,	GB,	GE,	HU,	, IL,	IS,	JP,	KE	KG	, KP,	KR,	KΖ,	LK,	LR,	LS,
		LT,	LU,	LV,	MD,	MG,	, MK,	MN,	MW,	MX	, NO	, NZ,	PL,	PT,	RO,	RU,	SD,
		SE,	SG,	SI,	SK,	TJ,	TM,	TR,	TT,	UA	, UG	, US,	UZ,	VN			
	RW:	ΚE,	LS,	MW,	SD,	SZ,	, UG,	ΑT,	BE,	СН	I, DE	, DK,	ES,	FI,	FR,	GB,	GR,
		ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	BJ	CF	, CG,	CI,	CM,	GΑ,	GN,	ML,
		MR,	ΝE,	SN,	TD,												
	2244									CA	1997	-2244	774		1	9970	129
CA	2244	774			С		2006	1017									
	9722									AU	1997	-2246	6		1	9970	129
	7091																
EP	8851	92			A1		1998	1223		ΕP	1997	-9056	27		1	9970	129
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	R, IT	, LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	FΙ														
JP	2000	5169	10		T		2000									9970	129
	6066											-1175				9981	207
	9957									AU	1999	-5717	1		1	9991	101
	7555				В2		2002	1212									
PRIORIT	Y APP	LN.	INFO	.:								-1073				9960	
												-2119				9960	703
										WO	1997	-US12	52		W 1	9970	129
OTHER SO	OURCE	(S):			MAR:	PAT	127:	1906	50								

Ι

GΙ

$$R^{1}$$
 R^{2}
 R^{3}
 R^{4}

AB Dihydropyridines I [R2 = alkyl, haloalkyl, phenyl; R3 = alkyl, alkoxycarbonyl, alkylthiocarbonyl, alkylaminocarbonyl, alkyloxy; R2R3 = ring with 2 - 4 methylene groups; R4 = alkyl, aryl, alkenyl, alkylamino, alkyloxy, alkynyl; R5 = alkyloxycarbonyl, aryl, alkylthio, hydroxy,

ΙI

alkylamino; R6 = Ph, naphthyl], benzopyranones II [R1 = R3 = H, hydroxy, alkyloxy, alkylcarbonyloxy; R2 = H, hydroxy, alkyloxy, alkylcarbonyloxy, alkenyloxy; R4 = Ph, styryl, phenylbutadienyl, phenylacetylenyl, iminomethyl], as well as pyridines and triazoloquinazolines, were prepared for pharmaceutical uses which involve blocking adenosine receptors such as treatment of cancer, inflammation, and asthma. Thus, 3,5,7-trimethoxyflavone was prepared by methylation of galangin with di-Me sulfate and gave Ki values of 0.509 ± 0.049 , 6.45 ± 1.48 , and 1.21 \pm 0.30 μM for A1, A2a, A3 receptors, resp., when tested for displacement of specific [3H]PIA binding in rat brain membranes.

ΙT 194346-98-0

RN

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of dihydropyridines, pyridines, benzopyranones, and triazoloquinazolines for use as adenosine receptor antagonists) 194346-98-0 HCAPLUS

CN 3,5-Pyridinedicarboxylic acid, 4-[2-(4-amino-3-iodophenyl)ethynyl]-1,4dihydro-2-methyl-6-phenyl-, 3-ethyl 5-(phenylmethyl) ester (CA INDEX NAME)

L14 ANSWER 23 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:321906 HCAPLUS

DOCUMENT NUMBER: 127:26242

ORIGINAL REFERENCE NO.: 127:4963a,4966a

TITLE: High-birefringence liquid crystal dopants

INVENTOR(S): Wand, Michael; Thurmes, William N.; More, Kundalika;

Vohra, Rohini T.

Displaytech, Inc., USA PATENT ASSIGNEE(S):

SOURCE: U.S., 33 pp. CODEN: USXXAM

DOCUMENT TYPE: Pat.ent. English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5626792 PRIORITY APPLN. INFO.:	A	19970506	US 1994-301121 US 1994-301121	19940906 19940906

OTHER SOURCE(S): MARPAT 127:26242

High-birefringence liquid crystal dopants for use in electrooptical devices

having the formula R1XCC.tplbond.CDT wherein C and D are aromatic ring systems each of which has one or two 5-member or 6-member carbon rings wherein one or two carbons of any ring in C or D can be substituted with a N, O or S atom and wherein any ring in C or D can be substituted with one or two halogen atoms; T is a halogen atom, a haloalkyl, haloalkoxy, vinylhalide or YR2 group where Y is a single bond, a double bond, a triple bond, COS, CS2, CH=CHCOS, CH=CHCSS or CH=CHCOO and R2 is an alkyl group having 3-20 carbon atoms; X is a single bond, a double bond, a triple bond, O, S or a ZQW group, where Q is a cyclohexane or cyclohexene ring in which one or two of the ring carbons can be replaced with an O atom or in which one or more of the ring carbons can be substituted with a halogen atom or a cyano group, ${\tt Z}$ is a single bond or an ${\tt O}$ or ${\tt S}$ atom and ${\tt W}$ is a single bond, CH2, C2H4 or CH2O; and R1 is alkyl having 3-20 carbon atoms in which one or more CH2 groups can be halogenated, two neighboring CH2 groups can be substituted with an epoxide group or one or more non-neighboring CH2 groups can be substituted with a double bond, a triple bond, an O or S atom, or a SiRaRb group where Ra and Rb are alkyl or alkenyl having 1-6 carbon atoms are disclosed. The high-birefringence dopants also possess UV stability, IR clarity and other properties that affect LC properties.

IT 190649-20-8P

RL: RCT (Reactant); SPN (Synthetic preparation); TEM (Technical or engineered material use); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation and reaction in preparing high-birefringence liq crystal dopant for electrooptical display devices)

RN 190649-20-8 HCAPLUS

CN Pyridine, 5-bromo-2-[2-(4-bromophenyl)ethynyl]- (CA INDEX NAME)

L14 ANSWER 24 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1994:689831 HCAPLUS

DOCUMENT NUMBER: 121:289831

ORIGINAL REFERENCE NO.: 121:52746h,52747a

TITLE: Pyridine derivatives and liquid-crystal media and

display devices containing them

INVENTOR(S): Poetsch, Eike; Plach, Herbert; Meyer, Volker;

Waechtler, Andreas; Hittich, Reinhard

PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany

SOURCE: Ger. Offen., 36 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4234089	A1	19940414	DE 1992-4234089	19921009

PRIORITY APPLN. INFO.: DE 1992-4234089 19921009

OTHER SOURCE(S): MARPAT 121:289831

GΙ

The compds. have the general formula I, where R = C1-15 alkyl or alkylene, unsubstituted or monosubstituted with CN, halogen, or CF3, in which ≥ 1 CH2 groups may be replaced by O, CO, COO, OCO, or OCOO; n = 0 or 1; Z = CH2CH2, CH:CH, or C.tplbond.C; L1,L2 = H or F; Q = CHF, OCHF, CF2, OCF2, C2F4, OC2F4, or a single bond; and Y = H, F, or Cl.

IT 159041-39-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of; in formation of pyridine derivs. for liquid-crystal media and display devices)

RN 159041-39-1 HCAPLUS

CN Pyridine, 5-bromo-2-[2-[3,5-difluoro-4-(trifluoromethoxy)phenyl]ethynyl]-(CA INDEX NAME)

$$F_3C-0$$
 F
 $C = C$
 Br

L14 ANSWER 25 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1993:484524 HCAPLUS

DOCUMENT NUMBER: 119:84524

ORIGINAL REFERENCE NO.: 119:14943a,14946a

TITLE: Luminescence of europium(III) chelates with

4-(arylethynyl)pyridines as ligands

AUTHOR(S): Takalo, Harri; Hanninen, Elina; Kankare, Jouko CORPORATE SOURCE: Cent. Biotechnol., Turku, SF-20521, Finland Helvetica Chimica Acta (1993), 76(2), 877-83

CODEN: HCACAV; ISSN: 0018-019X

DOCUMENT TYPE: Journal LANGUAGE: English

AB Some spectral properties and luminescence intensities of EuIII chelates with 15 4-(arylethynyl)pyridine-2,6-dicarboxylic acids and 11 2,2',2'',2'''-{[4-(arylethynyl)pyridine-2,6-

diyl]bis(methylenenitrilo)}tetrakis(acetic acids) were measured both in H2O and EtOH solns. to develop suitable labels for time-resolved

10598512

luminescence-based bioaffinity assays. Several of the latter ligands and their Eu complexes were prepared for the 1st time. The substitution at the aryl group has a significant effect upon the observed luminescence intensities, excitation wavelengths, and decay consts. of the complexes. Moreover, the changes in the environment cause great variation in those properties of certain EuIII chelates.

IT 149826-91-5D, europium complex

RL: PRP (Properties) (luminescence of)

RN 149826-91-5 HCAPLUS

CN 2,6-Pyridinedicarboxylic acid, 4-[2-(3,5-dichloro-4-hydroxyphenyl)ethynyl]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{HO}_2\text{C} & \text{C} & \text{C} \\ \text{N} & \text{OH} \\ \text{CO}_2\text{H} & \text{C1} \\ \end{array}$$

IT 148886-04-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, with europium)

RN 148886-04-8 HCAPLUS

CN 2,6-Pyridinedicarboxylic acid, 4-[2-(3,5-dichloro-4-hydroxyphenyl)ethynyl]-, potassium salt (1:2) (CA INDEX NAME)

HO2C
$$C = C$$
 C1 OH

●2 K

IT 148902-83-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 148902-83-4 HCAPLUS

CN 2,6-Pyridinedicarboxylic acid, 4-[2-(3,5-dichloro-4-hydroxyphenyl)ethynyl]-, 2,6-diethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} O \\ \parallel \\ EtO-C \\ C \\ \hline \\ C \\ \hline \\ O \\ \end{array} \begin{array}{c} C1 \\ OH \\ \hline \\ C1 \\ \hline \\ O \\ \end{array}$$

L14 ANSWER 26 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1991:196497 HCAPLUS

DOCUMENT NUMBER: 114:196497

ORIGINAL REFERENCE NO.: 114:32950h, 32951a

TITLE: Optically active nicotinic acid ester derivatives as

chiral smectic C liquid crystals Seto, Koji; Shimochizusho, Hiroshi

INVENTOR(S): Seto, Koji; Shimochizusho, Hiroshi PATENT ASSIGNEE(S): Nitto Chemical Industry Co., Ltd., Japan

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GI				

I

The title derivs. I (R = n-alkyl, alkoxy; R1 = asym. C-containing alkyl; A = 5,2-pyridinediyl, 2,5-pyridinediyl; X = H, halo; Y = C.tplbond.C, CH2CH2, OCO; Z = C.tplbond.C, CH2CH2, CO2; n = 0, 1) as liquid crystals are claimed. I have no other smectic phase below the chiral smectic C phase and are useful for ferroelec. compns. used in display devices, etc. Optically active 6-chloronicotinic acid 6-methyloctyl ester (preparation given) was treated with 4-Me(CH2)9OC6H4C.tplbond.CH to give I [R = decyloxy, R1 = (CH2)5CHMeEt, A = 5,2-pyridinediyl, X = H, Z = C.tplbond.C, n = 0], showing a chiral smectic C phase.

RN 133539-91-0 HCAPLUS

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CN 3-Pyridinecarboxylic acid, 6-[2-[4-(decyloxy)-3-fluorophenyl]ethynyl]-, 2-methylbutyl ester (CA INDEX NAME)

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COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)
SINCE FILE TOTAL
ENTRY SESSION
SESSION

-21.60

-23.20

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